

Patent Claims

1. Semi-hydrochloride of 8-cyano-1-cyclopropyl-7-(1S,6S-2,8-diazabicyclo-[4.3.0]nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid.
- 5
2. Semi-hydrochloride of 8-cyano-1-cyclopropyl-7-(1S,6S-2,8-diazabicyclo-[4.3.0]nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (CCDC semihydrochloride), characterized in that it has an X-ray powder diffractogram with the following reflection signals (2 theta) of high and medium intensity.

10

5.86

6.90

7.26

8.98

9.35

10.13

10.68

10.97,

12.41

13.67

14.57

14.89

15.73

16.07

16.47

16.87

17.78

18.91

19.81

20.04

20.62

20.75

20.93

21.46

21.74

22.92

25.36

25.71

26.98

27.58

28.24

30.61

3. Semi-hydrochloride of 8-cyano-1-cyclopropyl-7-(1S,6S-2,8-diazabicyclo-[4.3.0]nonan-8-yl)-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (CCDC semihydrochloride), characterized in that it has an X-ray powder diffractogram with the following reflection signals (2 theta) of high and medium intensity.
- 5

2 θ (2 Theta)

5.86

6.90

7.26

8.98

9.35

10.13

10.68

10.97

12.41

13.67

14.57

14.89

15.73

16.07

16.47

16.87

17.78

18.91

19.81

20.04

20.62

20.75

20.93

21.46

21.74

22.92

25.36

25.71

26.98

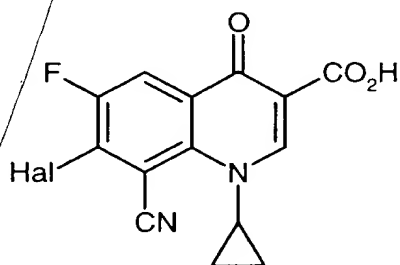
27.58

28.24

30.61

and a melting point, determined by DTA, of from 278°C to 280°C.

4. CCDC semihydrochloride according to Claim 1 or 2, obtainable by reacting
7-halogeno-8-cyano-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-3-quinoline-
carboxylic acid of the formula (II)

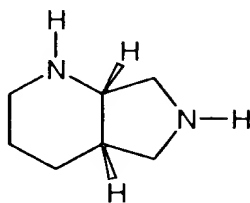


(II),

in which

Hal represents fluorine or chlorine,

and (1S,6S)-2,8-diazabicyclo[4.3.0]nonane of the formula (III)

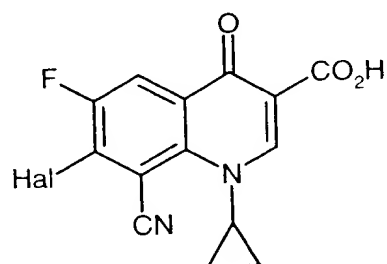


(III),

if appropriate in the presence of a base, in one of the following diluents or diluent mixtures:

- 5
- 10
- 15
- 20
- a) aliphatic alcohols having at least four carbon atoms,
 - b) mixture of, for example, aliphatic alcohols having at least three carbon atoms with the diluent N-methylpyrrolidone,
 - c) mixture of propanol and N,N-dimethylformamide,
- or
- d) mixture of ethanol with N-methyl-pyrrolidone with added tripropylamine, tributylamine, N-ethylmorpholine, N-propylmorpholine and/or N-butylmorpholine base.

5. Process for preparing CCDC semihydrochloride according to any of Claims 1 to 4, characterized in that 7-halogeno-8-cyano-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid of the formula (II)

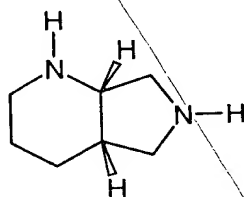


(II),

in which

Hal represents fluorine or represents chlorine

and (1S,6S)-2,8-diazabicyclo[4.3.0]nonane of the formula (III)



(III)

are reacted in the presence of a base in one of the following diluents or diluent mixtures:

- aliphatic alcohols having at least four carbon atoms,
- mixture of, for example, aliphatic alcohols having at least three carbon atoms with the diluent N-methylpyrrolidone,
- mixture of propanol and N,N-dimethylformamide,

or

Sub
A2
cont.

5

10

15

20

d) mixture of ethanol with N-methyl-pyrrolidone with added tripropylamine, tributylamine, N-ethylmorpholine, N-propylmorpholine and/or N-butylmorpholine base.

5 6. Process for preparing CCDC semihydrochloride according to Claim 5, characterized in that the diluent used is an aliphatic alcohol having at least 4 carbon atoms or that an aliphatic alcohol having at least two carbon atoms is used as component of a diluent mixture.

10 7. Process for preparing CCDC semihydrochloride according to Claim 5, characterized in that, if an aliphatic alcohol having at least 3 carbon atoms is used as component of a diluent mixture, N-methyl-pyrrolidone is simultaneously employed as a further diluent in a ratio of from 1 to 1 to 3 to 1.

15 8. Process for preparing CCDC semihydrochloride according to Claim 6, characterized in that, if propanol is used as component of a diluent mixture, N,N-dimethylformamide is simultaneously employed as further diluent in a ratio of from 1 to 1 to 3 to 1.

20 9. Medicament, characterized in that it comprises, in addition to customary auxiliaries and excipients, CCDC semihydrochloride according to any of Claims 1 to 4.

25 10. Use of CCDC semihydrochloride according to any of Claims 1 to 4 for preparing medicaments.

11. Use of CCDC semihydrochloride according to any of Claims 1 to 4 in antibacterial compositions.

Sub
A2
cont.

Chad a 3